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# **Scientific and Technical Information Center**

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# Commercial Database Search Request

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Tech Center:
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O TC 2800 O TC 3600 O TC 3700 O Other
Enter your Contact Information below:
Name: Clinton Ostrup
Employee Number: 78537 Phone: 703-308-3627
Art Unit or Office: 1614 Building & Room Number: CM1-2A12
If not related to a patent application, please enter NA here.  Class / Subclass(es) 424/401 and 514/021
Earliest Priority Filing Date: June 9,1998
Point of Contact:  Alexandra Waclawiw Technical Info. Specialist CM1 6A02 Tel: 308-4491
Provide detailed information on your search topic:
<ul> <li>In your own words, describe in detail the concepts or subjects you want us to search.</li> <li>Include synonyms, keywords, and acronyms. Define terms that have special meanings.</li> <li>*For Chemical Structure Searches Only* Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers</li> <li>*For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.</li> </ul>

FAX or send the abstract, pertinent claims (not all of the claims), drawings, or chemical structures to your EIC

http://ptoweb/patents/stic/searchsubmit.htm

Provide examples or give us relevant citations, authors, etc., if known.

or branch library.

Enter your Search Topic Information below:

Please search for the generic Formula of claim 8 and then claim 1, as they have limited the formula of claim 8. I have also printed the specific exemplified compounds in the application which are attached to this form. If/when you find these compounds, will you also search for them as inhibiting or inhibitors of the transport of anandamide.

Thank you,

Clinton Ostrup

**Special Instructions and Other Comments:** 

(For fastest service, let us know the best times to contact you, in case the searcher needs further clarification on your search.)

My normal work hours are M-F 8-4:30.

Press ALT + F, then P to print this screen for your own information.



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Last Modified: 07/08/2002 07:57:40

 $\nabla$ 

=> fil req

FILE 'REGISTRY' ENTERED AT 14:37:24 ON 10 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 MAR 2003 HIGHEST RN 497220-90-3 DICTIONARY FILE UPDATES: 9 MAR 2003 HIGHEST RN 497220-90-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d que stat l L5 L6	SCR 963 SCR 1016	A Structures in Chr and Cl. 8 were too broad too Struch.
L7 42	STR 40	I searched the structures  41 0 in table 1. If you
O ∭ CO~Hy~Cb	O ∭ NH≕ C-∕- Ak	NH=C~Cb~OH have any questions.  836 37 38 39 Please let me Know.
@29 30 31 32	@33 34 35	@36 37 38 39 please lut me how.

308-4491

VAR G1=33/29/36

NODE ATTRIBUTES:

CONNECT IS E1 - RC AT 35

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY SAT AT 31

GGCAT IS MCY UNS AT 32

GGCAT IS MCY UNS AT 38 DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E2 O AT 31

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE

L8 . 218 SEA FILE=REGISTRY SSS FUL L7 AND L6 AND L5

100.0% PROCESSED 146616 ITERATIONS

218 ANSWERS

SEARCH TIME: 00.00.07

Page	1					
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L6
           SCR 1016
L7
            STR
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                               41
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                NH— C-√Ak
                            NH-- C-√ Cb-√ OH
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                            @36 37 38 39
               @33 34 35
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GGCAT IS MCY SAT AT 31
GGCAT IS MCY UNS AT 32
GGCAT IS MCY UNS AT 38
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E2 O AT 31
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NUMBER OF NODES IS 34
STEREO ATTRIBUTES: NONE
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NODE ATTRIBUTES:
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GGCAT
GGCAT
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E2 O AT 31
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 34
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STEREO ATTRIBUTES: NONE

L12 6 SEA FILE=REGISTRY SUB=L8 SSS FUL L9

100.0% PROCESSED 206 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

=> d ide can 112 1-6

L12 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 409087-48-5 REGISTRY

CN Propanamide, N-[(1R,2S,3E)-2-hydroxy-1-(hydroxymethyl)-3-nonadecenyl]-2methyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C24 H47 N O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:309777

L12 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 291312-47-5 REGISTRY

CN 6,9,12,15-Eicosatetraenoic acid, 2-phenyl-1,3-dioxan-5-yl ester, (6Z,9Z,12Z,15Z)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C30 H42 O4

SR CA

LC STN Files: CA, CAPLUS

Double bond geometry as shown.

$$rac{Z}{Z}$$
  $rac{Z}{Z}$   $rac{CH_2}{4}$   $rac{O}{O}$   $rac{Ph}{C}$ 

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)

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1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 133:222922

L12 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 286834-29-5 REGISTRY

CN 5,8,11,14-Eicosatetraenoic acid, 2-phenyl-1,3,2-dioxaborinan-5-yl ester, (5Z,8Z,11Z,14Z)- (9CI) (CA INDEX NAME)

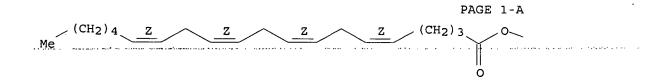
FS STEREOSEARCH

MF C29 H41 B O4

SR CA

LC STN Files: CA, CAPLUS, CASREACT

Double bond geometry as shown.



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PAGE 1-B

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- 1 REFERENCES IN FILE CA (1962 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 133:135131

- L12 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2003 ACS
- RN 252191-64-3 --- REGISTRY-------

CN 5,8,11,14-Eicosatetraenoic acid, 2-phenyl-1,3-dioxan-5-yl ester,

(5Z,8Z,11Z,14Z) - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C30 H42 O4

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Double bond geometry as shown.

PAGE 1-A

(CH<sub>2</sub>)<sub>4</sub> Z Z (CH<sub>2</sub>)<sub>3</sub> O

O

PAGE 1-B

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1962 TO DATE)

2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 133:135131

REFERENCE 2: 132:22820

L12 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2003 ACS

N 251908-92-6 REGISTRY

Benzamide, N-(5Z,8Z,11Z,14Z)-5,8,11,14-eicosatetraenyl-4-hydroxy- (9CI)

(CA INDEX NAME)

FS STEREOSEARCH

MF C27 H39 N O2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 132:22820

L12 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 251908-91-5 REGISTRY

N Propanamide, N-(5Z,8Z,11Z,14Z)-5,8,11,14-eicosatetraenyl-2-methyl- (9CI)

the second second and the second second second and the second second

(CA INDEX NAME)

FS STEREOSEARCH

MF C24 H41 N O

SR CA

IC CMY D.1

LC STN Files: CA, CAPLUS, USPATFULL

Double bond geometry as shown.

Me  $(CH_2)_4$  Z Z Z  $(CH_2)_4$  N Pr-i

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 132:22820

=> fil hcpalus
'HCPALUS' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'REGISTRY'

=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 14:37:45 ON 10 MAR 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 10 Mar 2003 VOL 138 ISS 11 FILE LAST UPDATED: 9 Mar 2003 (20030309/ED)

This file contains CAS Registry Numbers for easy and accurate

#### substance identification.

### 'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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=> d que nos 116
                         SCR 963
        SCR 1016
L7
                   218 SEA FILE=REGISTRY SSS FUL L7 AND L6 AND L5
L9
L12
                      6 SEA FILE=REGISTRY SUB=L8 SSS FUL L9
L13
                    4 SEA FILE=HCAPLUS ABB=ON PLU=ON L12
L14
                  142 SEA FILE=HCAPLUS ABB=ON PLU=ON L8
L15
                    3 SEA FILE=HCAPLUS ABB=ON PLU=ON L14 AND ANANDAMID?/OBI
                      6 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 OR L13
L16
=> d .ca hitstr l16 1-6
L16 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:96210 HCAPLUS
DOCUMENT NUMBER:
                                      136:309777
TITLE:
                                      The Synthesis and Biological Characterization of a
                                        Ceramide Library
AUTHOR(S):
                                       Chang, Young-Tae; Choi, Jaehwa; Ding, Sheng; Prieschl,
                                      Eva E.; Baumruker, Thomas; Lee, Jae-Mok; Chung,
    CORPORATE SOURCE: Department of Chemistry, The Scripps Research
                                        Institute, San Diego, CA, 92037, USA
SOURCE:
                                        Journal of the American Chemical Society (2002),
                                        124(9), 1856-1857
                                       CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER:
                                       American Chemical Society
DOCUMENT TYPE:
                                   Journal
LANGUAGE:
                                       English
       A facile synthesis of a combinatorial ceramide library and their
        activities in the NF-.kappa.B pathway and in apoptosis
        induction/prevention were demonstrated. A novel NF-.kappa.B activating
       mol. was discovered among ceramide contg. .beta.-galactose, and the
        structural requirements of ceramides for apoptosis induction was
       elucidated.
       26-3 (Biomolecules and Their Synthetic Analogs)
       Section cross-reference(s): 1, 33
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409087-91-8P 409087-92-9P
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BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
   (synthesis and biol. characterization of a ceramide library)
409087-48-5P
RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation);
BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
   (synthesis and biol. characterization of a ceramide library)
409087-48-5 HCAPLUS
Propanamide, N-[(1R,2S,3E)-2-hydroxy-1-(hydroxymethyl)-3-nonadecenyl]-2-
```

Absolute stereochemistry.

Double bond geometry as shown.

methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2003 ACS

IT

RN

CN

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ACCESSION NUMBER:
                       2001:300461 HCAPLUS
DOCUMENT NUMBER:
                       134:305335
                       Retro-anandamides, high affinity and
                       stability cannabinoid receptor ligands
INVENTOR(S):
                       Makriyannis, Alexandros; Liu, Qian; Goutopoulos,
                       Andreas
PATENT ASSIGNEE(S):
                       University of Connecticut, USA
SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2
DOCUMENT TYPE:
                       Patent
LANGUAGE:
                       English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO. KIND DATE APPLICATION NO. DATE
    PATENT NO.
    WO 2001028498 A2 20010426 WO 2000-US41248 20001018 WO 2001028498 A3 20010913
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL
PRIORITY APPLN. INFO.:
                                      US 1999-160033P P 19991018
                                      WO 2000-US41248 W 20001018
OTHER SOURCE(S):
                       MARPAT 134:305335
    Novel retro-anandamides are presented which have high affinities for the
    cannabinoid CB1 and/or CB2 receptor sites. Further, most of the analogs
    exhibit greater metabolic stability than arachidonylethanolamide. The
    improved receptor affinity and selectivity and/or greater metabolic
    stability make these analogs therapeutically useful as medications in
    individuals and animals for treatment of pain, glaucoma, epilepsy, nausea
    assocd. with chemotherapy, as well as suppression of the immune system,
    enhancement of appetite and in treatment of certain mental disorders.
IC
    ICM A61K
CC
    1-12 (Pharmacology)
    215818-35-2P 335372-49-1P 335372-50-4P 335372-51-5P
ΙT
    335372-52-6P 335372-53-7P 335372-54-8P 335372-55-9P
    335372-56-0P 335372-57-1P 335372-58-2P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
       (prepn. of retroanandamides, high affinity and stability cannabinoid
       receptor ligands)
    215818-35-2P 335372-49-1P 335372-50-4P 335372-51-5P
     335372-52-6P 335372-53-7P 335372-54-8P 335372-55-9P
                 335372-57-1P 335372-58-2P
    335372-56-0P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of retroanandamides, high affinity and stability cannabinoid
       receptor ligands)
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ΙT 215818-35-2P 335372-53-7P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of retroanandamides, high affinity and stability cannabinoid receptor ligands)

215818-35-2 HCAPLUS RN

CN Acetamide, N-(5Z,8Z,11Z,14Z)-5,8,11,14-eicosatetraenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Me 
$$(CH_2)_4$$
  $Z$   $Z$   $(CH_2)_4$  NHAC

RN 335372-53-7 HCAPLUS

2-Propenamide, N-(5Z,8Z,11Z,14Z)-5,8,11,14-eicosatetraenyl- (9CI) (CA

Double bond geometry as shown.

PAGE 1-B

\_\_ Me

L16 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2000:477411 HCAPLUS

DOCUMENT NUMBER:

133:222922

TITLE:

Synthesis and biological activities of

2-arachidonoylglycerol, an endogenous cannabinoid receptor ligand, and its metabolically stable

ether-linked analogues

AUTHOR (S):

Suhara, Yoshitomo; Takayama, Hiroaki; Nakane, Shinji; Miyashita, Tomoyuki; Waku, Keizo; Sugiura, Takayuki

CORPORATE SOURCE:

Department of Pharmaceutical Chemistry, Faculty of

Pharmaceutical Sciences, Teikyo University, Kanagawa,

199-0195, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(7),

903-907

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER:

Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

The authors synthesized 2-arachidonoylglycerol, an endogenous cannabinoid receptor ligand, and its metabolically stable ether-linked analogs. 2-Arachidonoylglycerol was synthesized from 1,3-benzylideneglycerol and arachidonic acid in the presence of N,N'-dicyclohexylcarbodiimide and 4-dimethylaminopyridine followed by treatment with boric acid and tri-Me

borate. An ether-linked analog of 2-arachidonoylglycerol was synthesized from 1,3-benzylideneglycerol and 5,8,11,14-eicosatetraenyl iodide. The ether-linked analogs of 2-palmitoylglycerol and 2-oleoyglycerol were synthesized from 1,3-benzylideneglycerol and hexadecyl iodide and 9-octadecenyl iodide, resp. The authors confirmed that 2-arachidonoylglycerol stimulates NG108-15 cells to induce rapid transient elevation of the intracellular free Ca2+ concns. through a CB1 receptor-dependent mechanism. Noticeably, 2-(5,8,11,14eicosatetraenyl)glycerol exhibited appreciable agonistic activity, although its activity was significantly lower than that of 2-arachidonoylglycerol. 2-(5,8,11,14-Eicosatetraenyl)glycerol would be a useful tool in exploring the physiol. significance of 2arachidonoylglycerol, because this compd. is resistant to hydrolyzing enzymes. On the other hand, the ether-linked analogs of either 2-palmitoylglycerol or 2-oleoyglycerol failed to act as a CB1 receptor agonist. These compds. would also be valuable as control mols. in expts. where 2-(5,8,11,14-eicosatetraenyl)glycerol is employed. 33-6 (Carbohydrates)

Section cross-reference(s): 7, 13

544-77-4P 1708-40-3P, 1,3-Benzylideneglycerol 26524-46-9P 69674-78-8P 291279-33-9P 291279-35-1P 291312-47-5P 291312-48-6P 291312-49-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and biol. activities of arachidonoylglycerol, an endogenous cannabinoid receptor ligand and its metabolically stable ether-linked

IT 291312-47-5P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and biol activities of arachidonoylglycerol, an endogenous cannabinoid receptor ligand and its metabolically stable ether-linked

RN291312-47-5 HCAPLUS

CN 6,9,12,15-Eicosatetraenoic acid, 2-phenyl-1,3-dioxan-5-yl ester, (6Z,9Z,12Z,15Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$rac{z}{z}$$
  $rac{z}{z}$   $rac{z}{z}$   $rac{c}{c}$   $rac{c}$   $rac{c}{c}$   $rac{c}{c}$   $rac{c}{c}$   $rac{c}$   $rac{c}{c}$   $rac{c}{c}$   $rac{c}$   $rac{c}$   $rac{c}{c}$   $rac{c}$   $rac{c}$   $rac{c}{c}$   $rac{c}$   $r$ 

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:379744 HCAPLUS

DOCUMENT NUMBER: 133:135131

TITLE: Facile synthesis and stabilization of

2-arachidonylglycerol via its 1,3-phenylboronate ester

Seltzman, Herbert H.; Fleming, Denise N.; Hawkins, AUTHOR (S):

Gregory D.; Carroll, F. Ivy

CORPORATE SOURCE: Chemistry and Life Sciences, Research Triangle

Institute, Research Triangle Park, NC, 27709, USA

SOURCE:

Tetrahedron Letters (2000), 41(19), 3589-3592

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 133:135131

AB 2-Arachidonylglycerol (2-Ara-Gl) (I) was synthesized via the intermediacy of its 1,3-phenylboronic acid ester. The boronate ester is easily stable enough to enable chromatog. resoln. from the corresponding 1-Ara-Gl boronate ester on normal phase elution yet immediately and completely hydrolyzes to 2-Ara-Gl and phenylboronic acid, without isomerization, by simple soln. in aq.-org. solvents. The phenylboronate ester of this 2-acylglycerol has the added advantage of being markedly more stable to both isomerization and oxidn. upon storage than the labile 2-Ara-Gl.

CC 26-3 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 33

ΤТ 252191-64-3P 286834-29-5P 286834-30-8P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(facile-synthesis and stabilization of 2-arachidonylqlycerol via

1,3-phenylboronate ester)

ΙT 252191-64-3P 286834-29-5P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(facile synthesis and stabilization of 2-arachidonylglycerol via

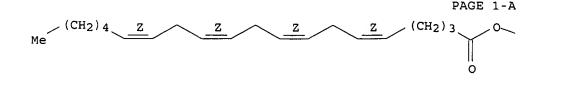
1,3-phenylboronate ester)

RN 252191-64-3 HCAPLUS

CN 5,8,11,14-Eicosatetraenoic acid, 2-phenyl-1,3-dioxan-5-yl ester,

(5Z,8Z,11Z,14Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.



PAGE 1-B

RN 286834-29-5 HCAPLUS

CN 5,8,11,14-Eicosatetraenoic acid, 2-phenyl-1,3,2-dioxaborinan-5-yl ester, (5Z,8Z,11Z,14Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Me 
$$(CH_2)_4$$
  $Z$   $Z$   $Z$   $(CH_2)_3$   $O$ 

PAGE 1-B

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1999:795784 HCAPLUS

DOCUMENT NUMBER:

132:22820

TITLE:

Preparation of arachidonyl amine amides as inhibitors

of the anandamide transporter and their use

as analgesics

INVENTOR(S):

Makriyannis, Alexandros; Lin, Sonyuan; Piomelli,

Daniele; Goutopoulos, Andreas

PATENT ASSIGNEE(S):

SOURCE:

USA

PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
WO 9964389	A1 19991216	WO 1999-US12900 19990609
W: CA, JP, RW: AT, BE, PT, SE		FI, FR, GB, GR, IE, IT, LU, MC, NL,
CA 2337822	AA 19991216	CA 1999-2337822 19990609

```
EP 1084098
                          20010321
                     A1
                                        EP 1999-930176 19990609
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE. FI
    JP 2002517479
                     T2
                          20020618
                                         JP 2000-553399
                                                         19990609
PRIORITY APPLN. INFO.:
                                      US 1998-88568P P 19980609
                                      WO 1999-US12900 W 19990609
                       MARPAT 132:22820
OTHER SOURCE(S):
    Arachidonyl amine amides (e.g., arachidonyl amine 4-hydroxybenzoic acid
    amide; IC50 50 nM) were prepd. and tested as competitive anandamide
    transport inhibitor,s and their use as analgesics (no data) is proposed.
IC
    ICM C07C053-00
    ICS C07C063-00; C07C229-00; A01N037-02; A01N037-06; A61K031-22;
         A61K031-23
    26-3 (Biomolecules and Their Synthetic Analogs)
CC
    Section cross-reference(s): 1
ST
    arachidonyl amine amide prepn anandamide transporter inhibitor
IT
    Analgesics
        (anandamide transporter-competitive arachidonyl amine amides)
    220556-77-4P 251908-91-5P 251908-92-6DP, tritiated
IT
    derivs. 251908-92-6P 251908-93-7P 252191-64-3P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
       (prepn. of arachidonyl amine amides as inhibitors of the
       anandamide transporter and their use as analgesics)
IT
    94421-68-8, Anandamide
    RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
       (prepn. of arachidonyl amine amides as inhibitors of the
       anandamide transporter and their use as analgesics)
IT
    57-57-8, .beta.-Propiolactone
                                  506-32-1, Arachidonic acid
    RL: RCT (Reactant); RACT (Reactant or reagent)
       (prepn. of arachidonyl amine amides as inhibitors of the
    -----anandamide transporter and their use as analgesics)
TΤ
    13487-46-2P, Arachidonyl alcohol
                                     194659-91-1P, 5,8,11,14-Eicosatetraen-1-
    amine, (5Z,8Z,11Z,14Z) - 220556-79-6P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
       (prepn. of arachidonyl amine amides as inhibitors of the
       anandamide transporter and their use as analgesics)
    251908-91-5P 251908-92-6DP, tritiated derivs.
IT
    251908-92-6P 252191-64-3P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
       (prepn. of arachidonyl amine amides as inhibitors of the
       anandamide transporter and their use as analgesics)
RN
    251908-91-5 HCAPLUS
CN
    Propanamide, N-(5Z,8Z,11Z,14Z)-5,8,11,14-eicosatetraenyl-2-methyl- (9CI)
     (CA INDEX NAME)
Double bond geometry as shown.
```

Me  $(CH_2)_4$  Z Z Z  $(CH_2)_4$  N Pr-i

RN 251908-92-6 HCAPLUS

CN Benzamide, N-(5Z,8Z,11Z,14Z)-5,8,11,14-eicosatetraenyl-4-hydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

RN 251908-92-6 HCAPLUS

CN Benzamide, N-(5Z,8Z,11Z,14Z)-5,8,11,14-eicosatetraenyl-4-hydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

RN 252191-64-3 HCAPLUS

CN 5,8,11,14-Eicosatetraenoic acid, 2-phenyl-1,3-dioxan-5-yl ester, (5Z,8Z,11Z,14Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Me 
$$(CH_2)_4$$
  $Z$   $Z$   $Z$   $(CH_2)_3$   $O$ 

PAGE 1-B

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1998:635184 HCAPLUS

DOCUMENT NUMBER:

130:151

TITLE:

Structural requirements for arachidonylethanolamide interaction with CB1 and CB2 cannabinoid receptors: pharmacology of the carbonyl and ethanolamide groups

AUTHOR (S):

Berglund, B. A.; Boring, D. L.; Wilken, G. H.; Makriyannis, A.; Howlett, A. C.

CORPORATE SOURCE:

Department of Pharmacological and Physiological

Science, St Louis University School of Medicine, St

Louis, MO, 63104, USA

SOURCE:

Prostaglandins, Leukotrienes and Essential Fatty Acids

(1998), 59(2), 111-118

PUBLISHER:

CODEN: PLEAEU; ISSN: 0952-3278 Churchill Livingstone

DOCUMENT TYPE:

Journal

LANGUAGE: English

Analogs of arachidonylethanolamide (anandamide) were prepd. to investigate the structural requirements for ligand binding to and activation of the CB1 and CB2 cannabinoid receptors. The importance of the presence and the placement of the carbonyl was examd. with analogs lacking the carbonyl or with the carbonyl amide order reversed. The presence and location of the carbonyl is essential for high-affinity binding to both cannabinoid receptor subtypes, and for detn. of signal transduction via G-proteins. Me groups were substituted on the 1'- and 2'-positions of arachidonylethanolamide and the significance of chirality was examd. Stereochem. differences in the ethanolamide group influence the affinity for both cannabinoid receptor subtypes and the signal transduction capabilities of the methanandamide derivs.

CC 1-3 (Pharmacology)

Section cross-reference(s): 13, 26

IT 94421-68-8, Anandamide 157182-47-3 157182-48-4 157182-49-5 157182-50-8

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(structural requirements for arachidonylethanolamide interaction with CB1 and CB2 cannabinoid receptors in relation to pharmacol. of carbonyl

and ethanolamide groups and activation of signal transduction)

IT 215818-33-0P 215818-34-1P 215818-35-2P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (structural requirements for arachidonylethanolamide interaction with CB1 and CB2 cannabinoid receptors in relation to pharmacol. of carbonyl and ethanolamide groups and activation of signal transduction)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT